

10/616,888

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FILE COVERS 1907 - 11 Jul 2007 VOL 147 ISS 3

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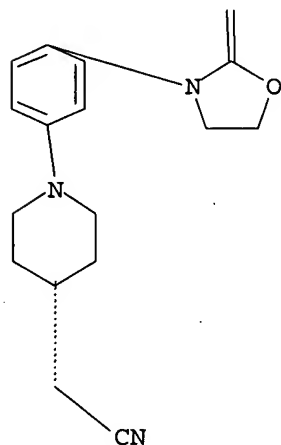
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L3 6 SEA FILE=CAPLUS L2

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L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:226910 CAPLUS

DOCUMENT NUMBER: 146:295903

TITLE: Preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compositions thereof

INVENTOR(S): Sindkhedkar, Milind D.; Bhavsar, Satish B.; Patil, Vijaykumar J.; Deshpande, Prasad K.; Patel, Mahesh V.

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 210pp.

CODEN: PIXXD2

10/616,888

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2007023507 | A2 | 20070301 | WO 2006-IN208 | 20060619 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.:

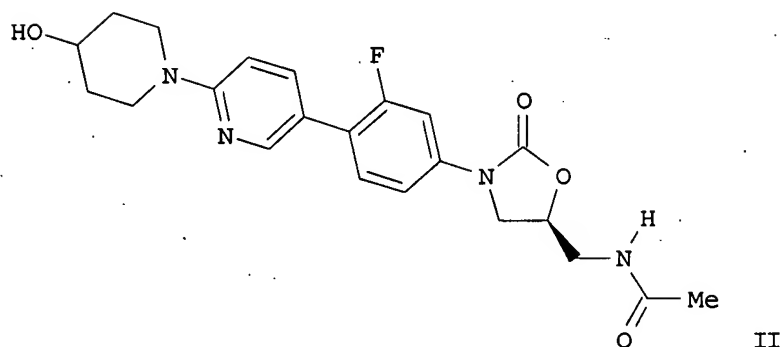
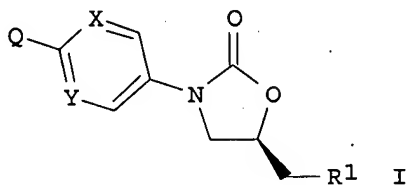
IN 2005-MU723

A 20050620

OTHER SOURCE(S):

MARPAT 146:295903

GI



AB Title compds. I [R1 = OH, formamide, (un)substituted amine, etc.; X and Y independently = CH, CF or N; Q = (un)substituted heterocyclyl, heteroaryl, aryl, etc.], and their pharmaceutically acceptable salts, were prepared and disclosed as having antimicrobial activity. Thus, e.g., II was prepared by reduction of the corresponding oxopiperidine derivative (preparation given).

Several

microbial assays are described, e.g., selected I displayed antibacterial activity for *Staphylococcus aureus* ATCC 25923 equal to 0.5 to ≥ 8 mg/mL. Thus, the present invention provides novel oxazolidinone derivs., processes for making compds. as well as antimicrobial pharmaceutical compns. containing said derivs. as active ingredients and methods of treating microbial infections with the said derivs.

IT 95-14-7, 1H-Benzotriazole 98-88-4, Benzoyl chloride 107-19-7, Propargyl alcohol 108-00-9, N,N-Dimethylethylene diamine 288-32-4, Imidazole, reactions 288-36-8, 1H-1,2,3-Triazole 288-88-0, 1H-1,2,4-Triazole 288-94-8, 1H-Tetrazole 501-53-1 541-41-3, Ethyl chloroformate 623-47-2, Ethyl propiolate 683-57-8, Bromoacetamide 698-63-5, reactions 1759-53-1, Cyclopropanecarboxylic acid 3250-74-6 4076-36-2, 5-Methyl-1H-tetrazole 4418-61-5, 5-Aminotetrazole 4554-16-9, 2,3-Dibromopropionitrile 5777-20-8, 3-Hydroxyisoxazole 10365-98-7, 3-Methoxyphenylboronic acid 10400-19-8, Nicotinyl chloride 13183-79-4, 5-Mercapto-1-methyltetrazole 14389-12-9 16681-77-9, N-Methyltetrazole 16687-60-8, 5-(4-Nitrophenyl)tetrazole 18039-42-4, 5-Phenyltetrazole 18755-49-2, 1H-1,2,3-Triazole-5-carbonitrile 21871-47-6 24854-43-1 36855-39-7 66907-69-5, 5-Diethylamino-1H-tetrazole 67026-01-1 72866-60-5, 1-Chloroethylisocyanate 87199-15-3 104392-74-7 120855-12-1 133237-33-9 149524-45-8 172966-52-8 172966-94-8 186498-02-2 354780-64-6 487041-08-7 501939-82-8 501939-95-3 627543-15-1 648909-91-5 717123-28-9 724793-95-7 928160-23-0 928160-24-1 928160-25-2 928160-27-4 928160-29-6 928160-32-1 928160-34-3 928160-36-5 928160-39-8 928160-42-3 928160-44-5 928160-46-7 928160-48-9 928160-50-3 928160-62-7 928160-64-9 928160-65-0 928160-66-1 928160-67-2 928160-68-3 928160-69-4 928160-70-7 928160-71-8 928160-72-9 928160-73-0 928160-74-1 928160-75-2 928160-76-3 928160-77-4 928160-78-5 928160-79-6 928160-80-9 928160-81-0 928160-82-1 928160-83-2 928160-84-3 928160-85-4 928160-86-5 928160-87-6 928160-88-7 928160-89-8 928160-90-1 928160-91-2 928160-92-3 928160-93-4 928160-94-5 928160-95-6

RL: RCT. (Reactant); RACT (Reactant or reagent)

(preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compns. thereof)

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:523447 CAPLUS

DOCUMENT NUMBER: 143:59964

TITLE: Preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy

INVENTOR(S): Deshpande, Prasad Keshav; Sindkhedkar, Milind Dattatraya; Phansalkar, Mahesh Shriram; Yeole, Ravindra Dattatraya; Gupte, Shrikant Vinayak; Chugh, Yati; Shetty, Nitin; Bhagwat, Sachin Subhash; De Souza, Noel John; Patel, Mahesh Vithalbhai

PATENT ASSIGNEE(S): Wockhardt Limited, India; Deshpande, Prasad, Keshav; Sindkhedkar, Milind, Dattatraya; Phansalkar, Mahesh, Shriram; Yeole, Ravindra, Dattatraya; Gupte, Shrikant, Vinayak; Bhagwat, Sachin, Subhash; De Souza, Noel, John; Patel, Mahesh, Vithalbhai

SOURCE: PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005054234 | A2 | 20050616 | WO 2004-IN276 | 20040908 |
| WO 2005054234 | A3 | 20050929 | | |
| WO 2005054234 | A8 | 20061123 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ,

OM, PG, PH, PL, PT, RO, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

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|----------------|----|----------|-----------------|----------|
| IN 2003MU00924 | A | 20050715 | IN 2003-MU924 | 20030908 |
| US 2005143421 | A1 | 20050630 | US 2004-935708 | 20040907 |
| AU 2004295208 | A1 | 20050616 | AU 2004-295208 | 20040908 |
| CA 2537559 | A1 | 20050616 | CA 2004-2537559 | 20040908 |
| EP 1664038 | A2 | 20060607 | EP 2004-817639 | 20040908 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

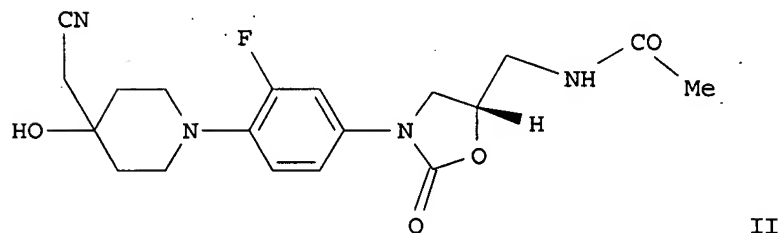
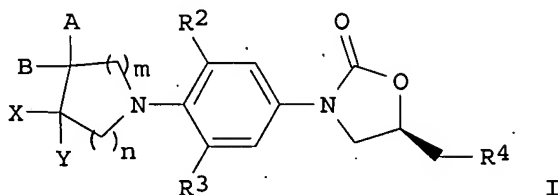
| | | | | |
|---------------|---|----------|----------------|----------|
| BR 2004013413 | A | 20070109 | BR 2004-13413 | 20040908 |
| JP 2007505102 | T | 20070308 | JP 2006-526008 | 20040908 |

PRIORITY APPLN. INFO.:

| | | |
|---------------|---|----------|
| IN 2003-MU924 | A | 20030908 |
| WO 2004-IN276 | W | 20040908 |

OTHER SOURCE(S): MARPAT 143:59964

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AB The present invention provides agents having antimicrobial activity for preventing and treating infectious diseases. Thus, the present invention provides novel substituted piperidino phenyloxazolidinone derivs. (shown as I; variables defined below; e.g. (S)-N-[[3-[4-(4-cyanomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide (shown as II)), processes for making compds. as well as antimicrobial compns. containing said derivs. as active ingredients and methods of treating bacterial infections with the said derivs. MIC ($\mu\text{g/mL}$) and/or ED50 (mg/kg) values are tabulated for 5 types of bacteria. For I: $m = 0-1$ and $n = 1-3$; $X = -\text{CN}$, $-\text{OH}$, or halogen; $Y = \text{H}$ (with the proviso that when Y is H , X is OH , F or CN), (un)substituted C1-C6 alkyl, C3-C6 cycloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heterocyclylamino, heterocyclylcarbonyl, cyano, halogen, (un)substituted amino, (un)substituted hydrazino; or X and Y together form a 3-membered carbocyclic ring or heterocyclic ring containing a hetero atom = O or S or X and Y together form an (un)substituted, (un)saturated 3 to 7 membered heterocyclic ring having 1-4 hetero atoms = N , O , S or includes the S atom of groups like sulfinyl or sulfonyl as a part of heterocyclic ring or X and Y together form $\text{O}:$. $A, B = \text{H}$, C1-C6 alkyl, or halogen; $R_2, R_3 = \text{H}$ or halogen. $R_4 = \text{C1-C6}$ alkylsulfonyloxy, alkylsulfonyloxyacetamido,

(un)substituted aminosulfonyloxy, alkylloxysulfonyloxy, arylsulfonyloxy, (un)substituted amino, azido, aminonitrilo, isocyanato, formamido, N-hydroxyformamido, substituted C1-C6 alkanoyloxy, (un)substituted C1-C6 alkylamido, (un)substituted C1-C6 alkylthiocarbonylamido, C1-C6 alkylsulfonamido; substituted arylsulfonamido, (un)substituted alkylcarbamato, (un)substituted ureido, (un)substituted five to six membered heterocyclyl ring, (un)substituted five to six membered heteroaryl ring, (un)substituted heteroaryloxy, (un)substituted heteroarylamino, or mercapto substituted by C1-C6 alkyl group; addnl. details including provisos are given in the claims. Methods of preparation are claimed and .apprx.180 example preps. are included. For example, 51 & II was prepared by reacting (S)-N-[[3-[4-(1-oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide with KCN in MeOH/DMF (1:5) at 25° for 14 h.

IT 371195-28-7P 648920-76-7P, [[(R)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate
 648921-06-6P, (S)-N-[[3-[4-(6,6-Dimethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 648921-25-9P, (R)-[[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853795-07-0P, (S)-N-[[3-[4-(4-Methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-09-2P, (S)-N-[[3-[4-(4-Fluoro-4-cyanomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-11-6P, (S)-N-[[3-[4-(4-Cyanomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-15-0P, (S)-N-[[3-[4-(4-Fluoro-4-azidomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-19-4P, (S)-N-[[3-[4-(4-Fluoro-4-aminomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-25-2P, (S)-N-[[3-[4-[4-[(N,N-Dimethylamino)methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-26-3P, (S)-N-[[3-[4-(4-Fluoro-4-hydroxymethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-27-4P, (S)-N-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-29-6P, (S)-N-[[3-[4-[4-Hydroxy-4-(methoxymethyl)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-31-0P, (S)-N-[[3-[4-(4-Ethoxymethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-37-6P, (S)-N-[[3-[4-(4-Cyano-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-46-7P, (S)-N-[[3-[4-[4-(Piperazinomethyl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-49-0P, (S)-N-[[3-[4-(4-Hydroxy-4-hydroxymethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-60-5P, (R)-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl] methanesulfonate 853795-63-8P, (S)-N-[[3-[4-[4-(Phenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-64-9P, (S)-N-[[3-[4-[4-(2-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-65-0P, (S)-N-[[3-[4-[4-(4-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-66-1P, (S)-N-[[3-[4-[4-(3-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-67-2P, (S)-N-[[3-[4-[4-(4-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-68-3P, (S)-N-[[3-[4-[4-(2,3,4-Trifluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-71-8P, (S)-N-[[3-[4-[4-(Pyridin-3-ylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-72-9P, (S)-N-[[3-[4-[4-(2,4-Difluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-

oxooxazolidin-5-yl)methyl]ethanamide 853795-73-0P, (S)-N-[[3-[4-[4-(2-Methoxyphenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-75-2P, (S)-N-[[3-[4-[4-[(4-Methoxycarbonylphenyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-83-2P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-88-7P, N-[[[(S)-3-[4-[4-[1-(Pyridin-3-yl)-1-cyanomethyl]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-96-7P, (S)-N-[[3-[4-[4-(2-Aminocarbonylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-18-6P, N-[[[(S)-3-[4-(1-Cyano-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-26-6P, (S)-N-[[3-[4-(1-Thia-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-28-8P, (S)-N-[[3-[4-(1-Oxa-7-azaspiro[3.5]nonan-7-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-30-2P, (S)-N-[[3-[4-(4,8-Diaza-1-thiaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-40-4P, (S)-N-[[3-[4-(8-Aza-1-thia-4-oxaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-98-2P 853797-09-8P 853797-17-8P, (S)-N-[[3-[4-(1-Oxa-4-thia-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

IT 172967-22-5P, (S)-N-[[3-[4-(4,8-Diaza-1-oxaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 252280-03-8P, (R)-3-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methoxy]isoxazole 648920-53-0P, (S)-N-[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648920-98-3P, N-[[[(S)-3-[4-(6-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648921-04-4P, (R)-[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 648921-38-4P, (R)-[[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] alcohol 853795-05-8P, (S)-N-[[3-[4-(4-Fluoro-4-methylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-13-8P, (S)-N-[[3-[4-[4-Fluoro-4-[(aminocarbonyl)methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-17-2P, (S)-N-[[3-[4-[4-Fluoro-4-[(methylsulfonyl)oxy]methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-21-8P, (S)-N-[[3-[4-[4-Fluoro-4-[(N-acetyl)amino]methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-23-0P, (S)-N-[[3-[4-[4-Fluoro-4-[(methylsulfonyl)amino]methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-24-1P, (S)-N-[[3-[4-[4-Fluoro-4-[(N,N-dimethylamino)methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-28-5P, (S)-N-[[3-[4-[4-Fluoro-4-(methoxymethyl)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-30-9P, (S)-N-[[3-[4-[4-Fluoro-4-(ethoxymethyl)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-32-1P, (S)-N-[[3-[4-(4-Fluoro-4-fluoromethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-33-2P, (S)-N-[[3-[4-(4-Fluoro-4-chloromethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-34-3P, (S)-N-[[3-[4-(4-Fluoro-4-iodomethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-35-4P, (S)-N-[[3-[4-(4,4-Difluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-36-5P, (S)-N-[[3-[4-[4-(2-Propyn-1-yl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-

oxooxazolidin-5-yl)methyl]ethanamide 853795-38-7P,
 (S)-N-[[3-[4-(4-Cyanomethyl-4-hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-40-1P
 853795-41-2P, (S)-N-[[3-[4-(4-Aminocarbonyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-42-3P,
 (S)-N-[[3-[4-(4-(Aminocarbonyl)methyl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-43-4P,
 (S)-N-[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-44-5P, (S)-N-[[3-[4-(4-Nitromethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-45-6P, (S)-N-[[3-[4-(4-(1-Imidazolylmethyl)-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-47-8P, (S)-N-[[3-[4-[4-[1-(Morpholino)methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-48-9P, (S)-N-[[3-[4-[4-(4,4-Dimethylpiperazinomethyl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide iodide 853795-50-3P,
 (S)-N-[[3-[4-[4-Ethynyl-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-51-4P, cis-N-[[[(S)-3-[4-(4-Trifluoromethyl-3-methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-52-5P, trans-N-[[[(S)-3-[4-(4-Trifluoromethyl-3-methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-53-6P, N-[[[(S)-3-[4-(4-Trifluoromethyl-3-methyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-54-7P, N-[[[(S)-3-[4-(3,3,4-Trimethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-55-8P, N-[[[(S)-3-[4-(4-Cyano-3,3-dimethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-56-9P, (S)-N-[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonamide 853795-58-1P, (R)-[[3-[4-[4-(Prop-2-en-1-yl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853795-59-2P, (R)-[[3-[4-(4-Hydroxymethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853795-61-6P, (R)-[[3-[4-[4-(3-Hydroxy-1-propyn-1-yl)-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853795-62-7P, (S)-N-[[3-[4-(4-Amino-4-cyanopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-69-4P,
 (S)-N-[[3-[4-[4-(3-Aminophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-70-7P,
 (S)-N-[[3-[4-[4-(2-Mercaptophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-74-1P,
 (S)-N-[[3-[4-[4-(4-Methoxyphenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-76-3P,
 N-[[[(S)-3-[4-[4-(4-Nitrophenylamino)-3-methyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-77-4P,
 N-[[[(S)-3-[4-[4-(4-Nitrophenylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-78-5P,
 N-[[[(S)-3-[4-[4-(2,3,4-Trifluorophenylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-79-6P, N-[[[(S)-3-[4-[4-(2-Methoxyphenylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-80-9P, N-[[[(S)-3-[4-[4-(Pyridin-3-ylamino)-3,3-dimethyl-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-81-0P, (S)-N-[[3-[4-[4-[(Phenylsulfonyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-82-1P,
 (S)-N-[[3-[4-(4-Cyanomethyl-4-cyanopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-85-4P,
 N-[[[(S)-3-[4-[4-(1-Phenyl-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-87-6P,
 , N-[[[(S)-3-[4-[4-(1-Carboxy-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-89-8P

, N-[[[(S)-3-[4-[4-(1-Ethoxycarbonyl-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-90-1P, N-[[[(S)-3-[4-[4-[1-(Morpholin-4-ylcarbonyl)-1-cyanomethyl]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-91-2P, (S)-N-[[3-[4-[4-[2-(4-Methoxyphenylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-92-3P, (S)-N-[[3-[4-[4-[2-(Furan-2-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-93-4P, (S)-N-[[3-[4-[4-[2-(Thiophen-2-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-94-5P, (S)-N-[[3-[4-[4-[2-(Pyridin-3-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-95-6P, (S)-N-[[3-[4-[4-[2-(Benzothiophen-3-ylcarbonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-97-8P, (S)-N-[[3-[4-[4-[2-(2-Acetylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-98-9P, (S)-N-[[3-[4-[4-[2-(2-Methoxycarbonylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853795-99-0P, (S)-N-[[3-[4-[4-[2-(2-Methylsulfonylhydrazino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-00-6P, (S)-N-[[3-[4-[4-[2-(4-Methylphenylsulfonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-01-7P, (S)-N-[[3-[4-[4-[2-(Aminothiocabonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-02-8P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-03-9P, (S)-N-[[3-[4-[4-[(4-Methoxycarbonylphenyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-04-0P, (S)-N-[[3-[4-[4-[(Ethoxycarbonyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-06-2P, (S)-N-[[3-[4-[4-(Phenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-07-3P, (S)-N-[[3-[4-[4-(2-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-08-4P, (S)-N-[[3-[4-[4-(4-Cyanophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-09-5P, (S)-N-[[3-[4-[4-(2,3,4-Trifluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-10-8P, (S)-N-[[3-[4-[4-(2-Methoxyphenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-11-9P, (S)-N-[[3-[4-[4-(2,4-Difluorophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-12-0P, (S)-N-[[3-[4-[4-(3-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-13-1P, (S)-N-[[3-[4-[4-(4-Nitrophenylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-14-2P, (S)-N-[[3-[4-[4-[2-(Aminothiocabonyl)hydrazino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-15-3P, (S)-N-[[3-[4-[4-(Pyridin-3-ylamino)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-16-4P, N-[[[(S)-3-[4-[4-[1-(Pyridin-3-yl)-1-cyanomethyl]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanethioamide 853796-17-5P, N-[[[(S)-3-[4-(1-Cyano-6-azaspiro[2.5]octan-6-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-19-7P, N-[[[(S)-3-[4-[(1R)-1-Cyano-6-azaspiro[2.5]octan-6-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-20-0P, N-[[[(S)-3-[4-[(1S)-1-Cyano-6-azaspiro[2.5]octan-6-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-22-2P, (S)-N-[[3-[4-(1,1-Dicyano-6-azaspiro[2.5]octan-6-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-23-3P, (S)-N-[[3-[4-(1,1-Dicyano-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]ethanamide 853796-24-4P, N-[[[(S)-3-[4-(1-Carboethoxy-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-

oxooxazolidin-5-yl)methyl]ethanamide 853796-25-5P, N-[[[(S)-3-[4-(2-Carboethoxy-1-oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-27-7P, N-[[[(S)-3-[4-(1-Oxo-1-thia-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-29-9P, (S)-N-[[[3-[4-(1-Thia-7-azaspiro[3.5]nonan-7-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-31-3P, N-[[[(S)-3-[4-(1-Oxo-4,8-diaza-1-thiaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-32-4P 853796-33-5P, N-[[[(S)-3-[4-(2-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-34-6P, N-[[[(S)-3-[4-[2-(N-Acetylaminomethyl)-1,4-dioxa-8-azaspiro[4.5]decan-8-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-36-8P, N-[[[(S)-3-[4-[2-[[[(Methylsulfonyl)amino]methyl]-1,4-dioxa-8-azaspiro[4.5]decan-8-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-37-9P, N-[[[(S)-3-[4-[2-[[[(Methylsulfonyl)oxy]methyl]-1,4-dioxa-8-azaspiro[4.5]decan-8-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-42-6P, N-[[[(S)-3-[3-Fluoro-4-(1-oxo-8-aza-1-thia-4-oxaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-45-9P, (S)-N-[[[3-[4-(1,1-Dioxo-8-aza-1-thia-4-oxaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-46-0P, [[(R)-3-[4-(2-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853796-48-2P, (S)-N-[[[3-[4-(2-Oxo-1-oxa-3,8-diazaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-50-6P, (S)-N-[[[3-[4-(3-Methyl-2-oxo-1-oxa-3,8-diazaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-51-7P, (S)-N-[[[3-[4-(1,3-Dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-55-1P, (S)-N-[[[3-[4-(1,3-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-57-3P, N-[[[(S)-3-[4-(4-Methyl-4,8-diaza-1-thiaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-59-5P, N-[[[(S)-3-[4-(2-Methyl-1,3-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-60-8P, (S)-N-[[[3-[4-(2,2-Dimethyl-1,3-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-61-9P, (S)-N-[[[3-[4-(1-Oxa-3-thia-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-63-1P, (R)-[[[3-[4-(1,3-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853796-65-3P, (S)-N-[[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-70-0P, N-[[[(S)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-72-2P, N-[[[(S)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-74-4P, N-[[[(S)-3-[4-(6-Methyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-79-9P, (S)-N-[[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-2,2-dichloroethanamide 853796-81-3P, (S)-N-[[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-2,2-dichloroethanamide 853796-84-6P, (S)-N-[[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-N-acetyethanamide 853796-86-8P, N-[[[(R)-3-[4-(6-Fluoro-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonamide 853796-90-4P, (S)-N-[[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanethioamide 853796-93-7P, (S)-N-[[[3-[4-(6,6-Dimethyl-1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanethioamide 853796-95-9P, Methyl (S)-N-[[[3-[4-(1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] carbonate 853796-96-0P 853796-97-1P, (R)-[[[3-[4-(1,4-Dioxa-8-azaspiro[4.5]decan-8-yl)phenyl]-2-oxooxazolidin-5-

yl)methyl] methanesulfonate 853797-00-9P, [[(R)-3-[4-(6-Fluoro-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-03-2P, S-[[[(R)-3-[4-(6,6-Dimethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] thioacetate 853797-04-3P, (S)-1-[[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-4-carboethoxy-1,2,3-triazole 853797-05-4P, S-[[[(R)-3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] thioacetate 853797-06-5P, (S)-1-[[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-4-aminocarbonyl-1,2,3-triazole 853797-08-7P, (S)-1-[[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-4-cyano-1,2,3-triazole 853797-10-1P, (S)-1-[[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-5-carboethoxy-1,2,3-triazole 853797-11-2P, (S)-1-[[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-5-aminocarbonyl-1,2,3-triazole 853797-12-3P, (S)-1-[[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-5-cyano-1,2,3-triazole 853797-13-4P, (S)-N-[[[3-[4-(2,4-Dioxo-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-14-5P, (S)-N-[[[3-[4-(4-Methyl-1-oxa-4,9-diazaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-16-7P, (S)-N-[[[3-[4-(1,4-Dioxo-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-18-9P, N-[[[(S)-3-[4-(4-Oxo-1-oxa-4-thia-9-azaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-19-0P, (S)-N-[[[3-[4-(1,6-Dioxo-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-21-4P, (S)-N-[[[3-[4-(1,4-Dioxo-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-22-5P, (S)-N-[[[3-[4-(1-Oxa-5-thia-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-23-6P, (S)-N-[[[3-[4-(1,5-Dithia-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-24-7P, N-[[[(S)-3-[4-(1-Oxo-1,5-dithia-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-25-8P, (R)-[[[3-[4-(4-Oxopiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-26-9P, [[[(R)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-28-1P, (R)-[[[3-[4-(4-Hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-29-2P, (R)-[[[3-[4-(4-Hydroxypiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-30-5P, [[[(R)-3-[4-(4-Hydroxy-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-31-6P, [[[(R)-3-[4-(4-Hydroxy-3-fluoropiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-32-7P, (S)-N-[[[3-[4-[4-[(Cyclopropylamino)methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-33-8P, (S)-N-[[[3-[4-(4-Methoxymethyl-4-hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-34-9P, (S)-N-[[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-35-0P, (S)-N-[[[3-[4-[4-[(Methylsulfonyl)oxy]methyl]-4-hydroxypiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-36-1P, (R)-[[[3-[4-[4-[(Methylsulfonyl)oxy]methyl]-4-fluoropiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] methanesulfonate 853797-39-4P, (S)-N-[[[3-[4-(4-Hydroxypiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-40-7P, N-[[[(S)-3-[4-(4-Hydroxy-3,3-dimethylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-41-8P, (S)-N-[[[3-[4-(4-Azidomethyl-4-hydroxypiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-43-0P, N-[[[(S)-3-[4-[4-(1-Ethoxycarbonyl-1-cyanomethyl)-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-

oxooxazolidin-5-yl)methyl]ethanethioamide 853797-44-1P,
 (S)-3-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]isoxazole 853797-45-2P, (R)-1-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-4-cyano-1,2,3-triazole 853797-46-3P, (R)-1-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-5-carboethoxy-1,2,3-triazole 853797-47-4P, (R)-1-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-5-aminocarbonyl-1,2,3-triazole 853797-48-5P, (R)-1-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-5-cyano-1,2,3-triazole 853797-49-6P, (S)-N-[[3-[4-(1,5-Dioxo-10-azaspiro[6.5]dodecan-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853920-11-3P, [[(R)-3-[4-(2-Methyl-6-fluoro-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853920-12-4P, N-[[[(S)-3-[4-(2-Methyl-6-fluoro-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853920-13-5P, [[(R)-3-[4-(2,6-Dimethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

IT 57-55-6, 2,3-Propanediol, reactions 62-53-3, Aniline, reactions 77-76-9, 2,2-Dimethoxypropane 90-04-0, 2-Methoxyaniline 98-10-2, Benzenesulfonamide 99-09-2, 3-Nitroaniline 100-01-6, 4-Nitroaniline, reactions 104-94-9, 4-Methoxyaniline 105-53-3, Diethyl malonate 105-56-6, (Ethoxycarbonyl)acetonitrile 108-45-2, 3-Aminoaniline, reactions 109-77-3, Malononitrile 109-80-8, 1,3-Propanedithiol 110-85-0, Piperazine, reactions 110-91-8, Morpholine, reactions 111-42-2, Diethanolamine, reactions 137-07-5, 2-Mercaptoaniline 140-29-4, Phenylacetonitrile 288-32-4, Imidazole, reactions 367-25-9, 2,4-Difluoroaniline 369-34-6, 3,4-Difluoronitrobenzene 372-09-8, Cyanoacetic acid 462-08-8, 3-Aminopyridine 504-63-2, 1,3-Propanediol 553-53-7, 3-Pyridinecarboxylic hydrazide 619-45-4, 4-Methoxycarbonylaniline 623-47-2, Ethyl propiolate 765-30-0, Cyclopropylamine 867-13-0 873-74-5, 4-Cyanoaniline 1576-35-8, (4-Methylphenylsulfonyl)hydrazine 1730-25-2, Allylmagnesium bromide 1885-29-6, 2-Cyanoaniline 2361-27-5, 2-Thiophenecarboxylic hydrazide 3290-99-1, 4-Methoxybenzoic hydrazide 3326-71-4, 2-Furancarboxylic hydrazide 3862-73-5, 2,3,4-Trifluoroaniline 4530-20-5, N-(tert-Butyloxycarbonyl)glycine 5777-20-8, 3-Hydroxyisoxazole 6117-80-2 6443-85-2, Pyridin-3-ylacetonitrile 6542-60-5, Cyclopropylacetonitrile 15029-32-0, (Morpholin-4-ylcarbonyl)acetonitrile 19721-22-3, 3-Mercaptopropan-1-ol 35570-01-5 60456-26-0, (R)-(-)-Glycidyl butyrate 78676-34-3, 3-Benzothiophenecarboxylic hydrazide 172966-53-9, (S)-N-[[3-[3-Fluoro-4-(4-oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 172966-59-5, (S)-N-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 172967-24-7, (R)-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]alcohol 648920-49-4, (R)-[[3-[4-(4-Oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]alcohol 648920-54-1, (S)-N-[[3-[4-(4-Oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648920-68-7, N-[[[(S)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648920-75-6, [[(R)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 648920-80-3, N-[[[(S)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648920-99-4, N-[[[(S)-3-[3-Fluoro-4-(4-oxo-3-methylpiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648921-07-7, (S)-N-[[3-[3-Fluoro-4-(4-oxo-3,3-dimethylpiperidin-1-

yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853794-97-5,
 (S)-[[3-[4-(6,6-Dimethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] azide 853794-99-7,
 (S)-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl] azide 853795-39-8, (S)-N-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853795-57-0, (S)-N-[[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonamide 853795-84-3,
 (S)-N-[3-[4-[4-(1,1-Dicyanomethylidene)piperidin-1-yl]-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]ethanamide 853795-86-5, (S)-N-[3-[4-(4-Oxopiperidin-1-yl)-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]ethanamide 853796-05-1, (S)-N-[[3-[4-[4-[(Ethoxycarbonyl)amino]-4-cyanopiperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-35-7,
 N-[[[(S)-3-[4-(2-Aminomethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-38-0,
 N-[[[(S)-3-[4-(2-Hydroxymethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-53-9,
 (S)-N-[[3-[4-(4-Hydroxy-4-hydroxymethylpiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-67-5, (S)-N-[[3-[4-(4-Oxopiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853796-88-0, [[(S)-3-[4-(6-Fluoro-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]amine 853796-99-3, (R)-[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl alcohol 853797-01-0,
 [(R)-3-[4-(6-Fluoro-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl alcohol 853797-02-1,
 (R)-[3-[4-(6,6-Dimethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl alcohol 853797-07-6,
 (R)-1-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]-4-carboethoxy-1,2,3-triazole 853797-15-6,
 (S)-N-[[3-[4-(1-Oxa-4,9-diazaspiro[5.5]undecan-9-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853797-27-0, [[(R)-3-[4-(4,4-Dimethoxy-3-fluoropiperidin-1-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853797-37-2, (R)-[[3-[4-(4-Hydroxymethyl-4-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853797-38-3, [[(R)-3-[4-(4-Oxo-3-methylpiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 853797-42-9, (S)-N-[[3-[4-(1-Oxa-6-azaspiro[2.5]octan-6-yl)-3,5-difluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide
 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

IT 172967-26-9P, (S)-[[3-[4-(1,4-Dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]amine 596136-34-4P,
 (S)-N-[[3-[4-(4-Cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648909-88-0P,
 (S)-N-[[3-[4-(4-Cyanomethylidenepiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648919-89-5P, (S)-N-[[3-[4-[4-(1,1-Dicyanomethylidene)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648920-50-7P, (R)-[[3-[4-(4-Oxopiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]methanesulfonate 648920-69-8P,
 N-[[[(S)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 648920-81-4P, N-[[[(S)-3-[4-(4-Oxo-3-fluoropiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 685570-77-8P, (S)-N-[[3-[4-[4-(1-Carboethoxymethylidene)piperidin-1-yl]-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853794-82-8P,
 (S)-N-[[3-[4-[4-(1,1-Dicyanomethylidene)piperidin-1-yl]phenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide 853794-95-3P, (S)-[[3-[4-(6,6-Dimethyl-1,4-dioxo-8-azaspiro[4.5]decan-8-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]amine 853797-20-3P, (S)-N-[[3-[4-(1,6-Dioxo-10-azaspiro[6.5]dodec-3-en-10-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl)methyl]ethanamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:372882 CAPLUS

DOCUMENT NUMBER: 140:391269

TITLE: Preparation of methylidene oxazolidinone compounds as antimicrobial agents and preparation method thereof

INVENTOR(S): Koh, Hun Yeong; Cho, Yong Seo; Pae, Ae Nim; Cha, Joo Hwan; Kim, Hye Yeon; Lee, Jae Seok; Kim, Hak Soo; Kim, Sanghee

PATENT ASSIGNEE(S): Korea Institute of Science and Technology, S. Korea

SOURCE: U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

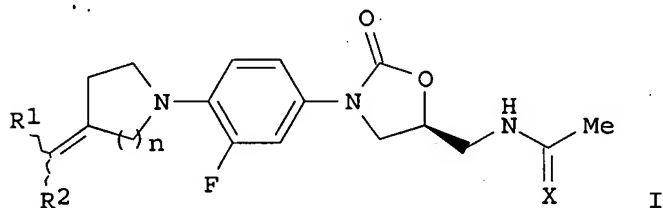
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|--|------------|
| US 2004087633 | A1 | 20040506 | US 2003-694843 | 20031029 |
| KR 2004037686 | A | 20040507 | KR 2002-66268 | 20021029 |
| PRIORITY APPLN. INFO.: | | | KR 2002-66268 | A 20021029 |
| OTHER SOURCE(S): | | | CASREACT 140:391269; MARPAT 140:391269 | |

GI



AB 3-[4-(3-Methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone compds. represented by formula (I) or pharmaceutically acceptable salt thereof (R1 and R2 independently represent H, cyano, alkyl, halogen, acetoxy, ethoxycarbonyl, hydroxy, hydroxyimino, methoxyimino, aminoethyl, or a unsatd. 5-membered heterocyclic substituent containing one or more hetero atoms selected from the group consisting of O, N and S; n represents an integer 1 or 2), showing superior antimicrobial activities against gram-pos. germs including resistant strains such as methicillin-resistant staphylococcus aureus and vancomycin-resistant enterococcus, are prepared Also disclosed is a preparation method thereof. These compds. showing superior antimicrobial activities against gram-pos. germs including resistant strains such as methicillin-resistant staphylococcus aureus and vancomycin-resistant enterococcus. For example, N-[[[(5S)-3-[3-fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide showed min. inhibitory concentration of 0.5 µg/mL against vancomycin-resistant Staphylococcus pyogenes C6487 vs. 2 and >32 µg/mL for linezolid and vancomycin, resp.

IT 596136-34-4P, N-[[[(5S)-3-[3-Fluoro-4-(4-cyanomethylidenepiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-84-7P, N-[[[(5S)-3-[3-Fluoro-4-[4-(2-oxoethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-92-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
 (intermediate; preparation of 3-[4-(3-methylidenepiperidin-1-yl or
 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as
 antimicrobial agents)

IT 685570-69-8P, N-[[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-
 hydroxybutylidene)piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 3-[4-(3-methylidenepiperidin-1-yl or

4-methylidenepyrrolidin-

1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

IT 596136-10-6P, N-[[[(5S)-3-[3-Fluoro-4-[3-(dicyanomethylidene)pyrrolidin-1-
 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 596136-11-7P
 596136-12-8P 596136-13-9P 648919-28-2P, N-[[[(5S)-3-[3-Fluoro-4-
 [4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]thioacetamide 648919-57-7P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-
 5-oxazolidinyl]methyl]acetamide 648919-89-5P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(dicyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-
 5-oxazolidinyl]methyl]acetamide 648919-97-5P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-cyanomethylidene)piperidin-1-
 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-67-6P
 685570-68-7P, N-[[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-
 (ethoxycarbonyl)ethylidene]piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide 685570-70-1P, N-[[[(5S)-3-[3-Fluoro-
 4-[4-[1-cyano-4-(methanesulfonyloxy)butylidene]piperidin-1-yl]phenyl]-2-
 oxo-5-oxazolidinyl]methyl]acetamide 685570-73-4P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-aminobutylidene)piperidin-1-
 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide 685570-74-5P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-[3-(thiophen-2-yl)-5-
 isoxazolyl]methylidene]piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide 685570-76-7P, N-[[[(5S)-3-[3-Fluoro-4-[4-[4-
 [3-(3-methylisothiazol-4-yl)isoxazol-5-yl]methylidene]piperidin-1-
 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-77-8P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-[(ethoxycarbonyl)methylidene]piperidin-1-
 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-78-9P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(methylcarbonylmethylidene)piperidin-1-
 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-79-0P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-[1-(ethoxycarbonyl)ethylidene]piperidin-1-
 yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-80-3P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-carboxymethylidenepiperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide 685570-82-5P, N-[[[(5S)-3-[3-Fluoro-4-[4-[1-
 chloro-1-(ethoxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide 685570-88-1P 685570-89-2P 685570-90-5P
 685570-91-6P 685570-93-8P 685570-94-9P 685570-95-0P 685570-96-1P,
 N-[[[(5S)-3-[3-Fluoro-4-[3-(dicyanomethylidene)pyrrolidin-1-yl]phenyl]-2-
 oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685570-97-2P
 685570-98-3P 685570-99-4P 685571-00-0P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-[1-cyano-2-(ethoxycarbonyl)ethylidene]piperidin-
 1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
 685571-01-1P, N-[[[(5S)-3-[3-Fluoro-4-[4-(1-ethoxycarbonyl-1-
 cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide hydrochloride 685571-02-2P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(cyanomethylidenepiperidin-1-yl)phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide hydrochloride 685571-03-3P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-[3-(thiophen-2-yl)-5-
 isoxazolyl]methylidene]piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide hydrochloride 685571-04-4P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-[4-[3-(3-methylisothiazol-4-yl)isoxazol-5-
 yl]methylidene]piperidin-1-yl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl]acetamide hydrochloride 685571-05-5P,

N-[[[(5S)-3-[3-Fluoro-4-[4-[(ethoxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
 685571-06-6P, N-[[[(5S)-3-[3-Fluoro-4-[4-[(methylcarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
 685571-07-7P, N-[[[(5S)-3-[3-Fluoro-4-[4-[1-(ethoxycarbonyl)ethylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
 685571-08-8P, N-[[[(5S)-3-[3-Fluoro-4-[4-carboxymethylidenepiperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride
 685571-09-9P, N-[[[(5S)-3-[3-Fluoro-4-[4-[1-chloro-1-(ethoxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-10-2P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(1-cyanoethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-11-3P,
 N-[[[(5S)-3-[3-Fluoro-4-[4-(2-oxoethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride 685571-12-4P 685571-13-5P
 685571-14-6P 685571-15-7P 685571-16-8P 685571-17-9P 685571-18-0P
 685571-19-1P 685571-20-4P, N-[[[(5S)-3-[3-Fluoro-4-[4-(cyanomethylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide hydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

IT 685570-71-2P, N-[[[(5S)-3-[3-Fluoro-4-[4-(1-cyano-4-azidobutylidene)piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(reactant; preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

IT 75-36-5, Acetyl chloride 79-04-9, Chloroacetyl chloride 79-36-7, Dichloroacetyl chloride 105-56-6, Ethyl cyanoacetate 106-95-6, Allyl bromide, reactions 109-77-3, Malononitrile 124-63-0, Methanesulfonyl chloride 593-56-6, Methoxyamine hydrochloride 867-13-0, Triethyl phosphonoacetate 1067-71-6, Diethyl 2-oxopropylphosphonate 2537-48-6, Diethyl cyanomethylphosphonate 3095-95-2, Diethylphosphonoacetic acid 5470-11-1, Hydroxylamine hydrochloride 7071-12-7 10123-62-3, Diethyl (2-cyanoethyl)phosphonate 19766-89-3, Sodium 2-ethylhexanoate 132424-98-7 172966-53-9, N-[[[(5S)-3-[3-Fluoro-4-(4-oxopiperidin-1-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 596136-40-2, Diethyl [[3-(3-methylisothiazol-4-yl)-5-isoxazolyl]methyl]phosphonate 685570-66-5, N-[[[3-[3-Fluoro-4-(3-oxopyrrolidin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide 685570-72-3 685570-75-6, Diethyl [3-(2-thiophenyl)-5-isoxazolylmethyl]phosphonate 685570-81-4, N-[[[(5S)-3-[3-Fluoro-4-[4-[(allyloxycarbonyl)methylidene]piperidin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide 685570-83-6
 RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of 3-[4-(3-methylidenepiperidin-1-yl or 4-methylidenepyrrolidin-1-yl)phenyl]-2-oxazolidinone derivs. as antimicrobial agents)

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:60503 CAPLUS

DOCUMENT NUMBER: 140:128407

TITLE: Preparation of (piperidinophenyl)oxazolidinones targeting multiple ribonucleoprotein sites as antibacterial agents

INVENTOR(S): Patel, Mahesh V.; Deshpande, Prasad K.; Sindkhedkar, Milind D.; Gupte, Shrikant V.; Chugh, Yati; Shetty,

Nitin; Shukla, Milind C.; Yeole, Ravindra D.; De Souza, Noel J.

PATENT ASSIGNEE(S):

India

SOURCE:

PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

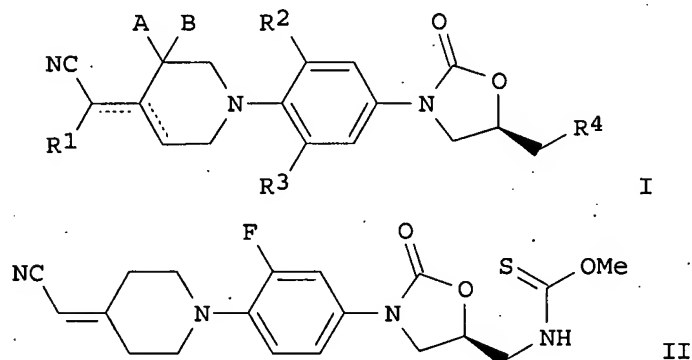
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004007489 | A2 | 20040122 | WO 2003-IN238 | 20030710 |
| WO 2004007489 | A3 | 20040318 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2492194 A1 20040122 CA 2003-2492194 20030710 AU 2003272071 A1 20040202 AU 2003-272071 20030710 EP 1565461 A2 20050824 EP 2003-753912 20030710 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK IN 2005MN00003 A 20070504 IN 2005-MN3 20050104 PRIORITY APPLN. INFO.: US 2002-395164P P 20020711 WO 2003-IN238 W 20030710 OTHER SOURCE(S): MARPAT 140:128407 GI | | | | |



AB Title compds. I [wherein R1 = H, (un)substituted (cyclo)alkyl, (un)substituted alkanoyl, arylmercapto, heterocyclylthiocarbonyl, etc.; R2, R3 = H, halogen; R4 = alkylsulfonyloxy, (un)substituted alkylthiocarbonylamino, (un)substituted ureido, carbamato, etc.; A, B = independently selected from H, alkyl, CO2Et, halogen; and salts or solvates thereof] were prepared as antibacterial agents. For example, reaction of (S)-N-[3-[4-(4-cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]amine with carbon disulfide (69%), followed by addition of sodium methoxide, gave II in 77% yield. II showed 1.56-6.25 µg/mL (MIC) against linezolid resistant strains, such as *S. aureus* MRSA-32, *S. pneumoniae* SPN744 and *E. faecium* 367, 0.2 µg/mL (MIC)

against linezolid sensitive strains, such as *S. aureus* MRSA-32 and *S. pneumoniae* 49619, 1.56 (MPC) for the treatment of *E. faecalis* 416 infection, and etc. 3D quant. structure activity relationship (3D-QSAR) showed that the steric contributions of I are over one and half times more than the electrostatic contributions, compared to literature compds. Thus, I and their pharmaceutical compds. targeting multiple ribonucleoprotein sites are useful as antimicrobial agents for treating bacterial infections.

IT 596136-34-4P 648909-90-4P 648918-89-2P
 648918-90-5P 648918-93-8P 648918-97-2P
 648919-00-0P 648919-01-1P 648919-02-2P
 648919-05-5P 648919-10-2P 648919-12-4P
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 648919-57-7P 648919-65-7P 648919-72-6P
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 648920-21-2P 648920-22-3P 648920-25-6P
 648920-26-7P 648920-42-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)

IT 648909-86-8P 648909-88-0P 648909-93-7P
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 648920-46-1P 648921-12-4P 648921-13-5P
 648921-40-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting
 multiple RNA sites as antibacterial agents)

IT 56-41-7, L-Alanine, reactions 56-45-1, L-Serine, reactions 71-00-1,
 L-Histidine, reactions 79-03-8, Propionyl chloride 105-56-6 107-91-5
 108-00-9 108-23-6, Isopropyl chloroformate 109-77-3, Propanedinitrile
 140-29-4, Phenylacetoneitrile 147-85-3, L-Proline, reactions 350-46-9,
 4-Fluoronitrobenzene 372-09-8, 2-Cyanoacetic acid 501-53-1, Benzyl
 chloroformate 543-27-1, Isobutyl chloroformate 623-47-2, Ethyl
 propiolate 658-99-1, 3,4-Difluorophenylacetoneitrile 2537-48-6,
 Diethylcyanomethyl phosphonate 2739-97-1, Pyridin-2-ylacetoneitrile
 3282-30-2, Pivaloyl chloride 4629-78-1, 3-Methyl-4-piperidinone
 hydrochloride 5219-61-4 5777-20-8, 3-Hydroxyisoxazole 6542-60-5,
 Cyclopropylacetoneitrile 7065-46-5, 3,3-Dimethylbutyryl chloride
 14227-95-3 15029-32-0 15029-37-5 15029-38-6 20893-30-5,
 2-Thiopheneacetoneitrile 25881-86-1 29668-61-9, Diethyl
 (1-cyanoethyl)phosphonate 30764-67-1 34491-76-4 34491-78-6
 34491-79-7 35120-10-6, Methylthioacetoneitrile 41979-39-9, 4-Piperidone
 hydrochloride 60456-26-0, (R)-Glycidyl butyrate 81606-79-3,
 1H-1,2,4-Triazole-1-acetoneitrile 82949-05-1 98873-55-3,
 1-Imidazolylacetoneitrile 101010-74-6, 2-Thiazoleacetoneitrile
 268209-11-6 334993-98-5 439097-58-2 648909-87-9
 648921-22-6 648921-23-7 648921-24-8 648921-25-9 648921-26-0
 648921-27-1 648921-28-2 648921-29-3 648921-30-6
 648921-31-7 648921-32-8 648921-33-9
 648921-34-0 648921-35-1 648921-36-2
 648921-37-3 648921-38-4 648921-39-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting
 multiple RNA sites as antibacterial agents)

IT 23499-01-6P 79421-42-4P 172966-53-9P 590421-70-8P
 648910-05-8P 648920-47-2P 648920-48-3P 648920-49-4P
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 648921-19-1P 648921-20-4P 648921-21-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting
 multiple RNA sites as antibacterial agents)

10/616,888

TITLE: Preparation of (piperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages

INVENTOR(S): Chugh, Yati; Shetty, Nitin; Deshpande, Prasad K.; Sindkhedkar, Milind D.; Jafri, Mohammad A.; Yeole, Ravindra D.; Shukla, Milind C.; Gupte, Shrikant V.; Patel, Mahesh V.; De Souza, Noel J.

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2

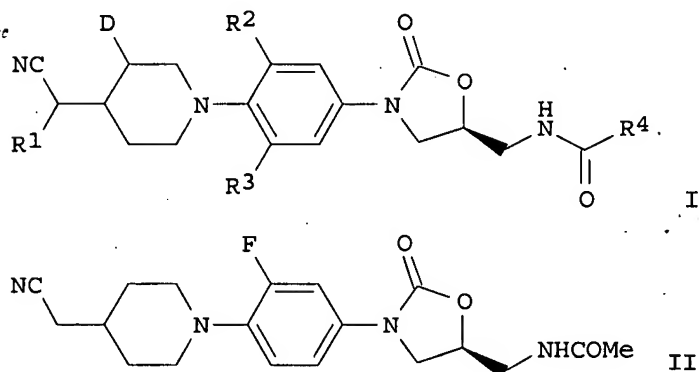
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004007488 | A2 | 20040122 | WO 2003-IN237 | 20030710 |
| WO 2004007488 | A3 | 20040318 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| IN 2003MU00392 | A | 20050211 | IN 2003-MU392 | 20030421 |
| CA 2492743 | A1 | 20040122 | CA 2003-2492743 | 20030710 |
| AU 2003274676 | A1 | 20040202 | AU 2003-274676 | 20030710 |
| US 2004063954 | A1 | 20040401 | US 2003-616888 | 20030710 |
| EP 1546140 | A2 | 20050629 | EP 2003-758645 | 20030710 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2004235900 | A1 | 20041125 | US 2003-475735 | 20031023 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2002-395164P | P 20020711 |
| | | | IN 2003-MU392 | A 20030421 |
| | | | WO 2003-IN237 | W 20030710 |
| OTHER SOURCE(S): CASREACT 140:128406; MARPAT 140:128406 | | | | |
| GI | | | | |



AB Title compds. I•A [wherein R1 = H, (un)substituted alkyl, CO2H, CN; R2, R3 = H, F; R4 = (un)substituted alkyl, alkoxy; D = H, alkyl, F; A =

absent or a complex forming agent, organic base, amino acid] were prepared as antimicrobial agents. For example, reduction of N-[[[(S)-3-[4-(4-cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-yl]methyl]acetamide with 10% Pd/C afforded II in 89% yield. II showed 1.0-2.0 µg/mL (MIC) against *S. aureus* MRSA-32, *E. faecalis* ATCC 29212 and *S. pneumoniae* ATCC 49619, good pharmacokinetic values, such as a higher 12-h blood level and a longer half-life than the control, and no toxicity. Thus, I and their pharmaceutical compds. have an improved pharmacokinetic profile and no toxicity in use as antimicrobial agents for preventing and treating bacterial infections in once-a-day doses.

IT 648910-08-1P 648910-09-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(complex with 3-HP-β-CD; preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 648909-87-9P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 648909-91-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 648909-85-7P 648909-89-1P 648909-92-6P

648909-94-8P 648909-96-0P 648909-97-1P

648909-98-2P 648909-99-3P 648910-00-3P

648910-01-4P 648910-02-5P 648910-03-6P

648910-04-7P 648910-05-8P 648910-06-9P

648910-07-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

IT 156969-96-9 596136-34-4 648909-86-8

648909-88-0 648909-90-4 648909-93-7

648909-95-9 648919-14-6 648919-22-6

648919-41-9 648919-46-4 648919-57-7

648919-72-6 648919-89-5 653597-30-9

653597-32-1 653597-37-6 653597-38-7

653597-47-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of (cyanoalkylpiperidinophenyl)oxazolidinones as antimicrobial agents with improved pharmacokinetic profile and safety advantages)

L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:442768 CAPLUS

DOCUMENT NUMBER: 139:245931

TITLE: Synthesis and in vitro activity of new methylenepiperidinyl and methylenepyrrolidinyl oxazolidinone antibacterial agents

AUTHOR(S): Kim, Hye Yeon; Lee, Jae Seok; Cha, Joo Hwan; Pae, Ae

Nim; Cho, Yong Seo; Chang, Moon Ho; Koh, Hun Yeong

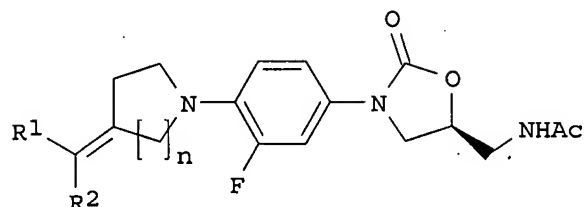
CORPORATE SOURCE: Biochemicals Research Center, Korea Institute of Science and Technology, Cheongryang, Seoul, 130-650, S. Korea

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),

10/616,888

13(13), 2227-2230
CODEN: BMCLE8; ISSN: 0960-894X
Elsevier Science B.V.
Journal
English
CASREACT 139:245931

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI



AB A series of substituted methylenepiperidinyloxazolidinones I ($R_1 = H, Me, CN$; $R_2 = CN, CO_2Et, COMe, CHO$, etc.) have been prepared and evaluated for antibacterial activity against several gram-pos. strains, including the resistant strains of *Staphylococcus* and *Enterococcus*, such as MRSA, CRSA, MSSA and VRE. Some of them showed comparable or superior in vitro activities (MIC) to vancomycin.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| | | | | | |
|----|--------------|--------------|--------------|--------------|--------------|
| IT | 596136-10-6P | 596136-11-7P | 596136-12-8P | 596136-13-9P | 596136-14-0P |
| | 596136-15-1P | 596136-17-3P | 596136-18-4P | 596136-19-5P | 596136-20-8P |
| | 596136-21-9P | 596136-22-0P | 596136-23-1P | 596136-24-2P | 596136-25-3P |
| | 596136-26-4P | 596136-27-5P | 596136-28-6P | 596136-29-7P | 596136-30-0P |
| | 596136-31-1P | 596136-32-2P | 596136-33-3P | 596136-34-4P | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and in vitro activity of [(methylenepiperidinyloxazolidinone) or (methylenepyrrolidinyl)fluorophenyl]antibacterial agents)

=>